

CLAIMS

1. 8-(3-Pentylamino)-2-methyl-3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidine methanesulfonate.
2. A crystal of 8-(3-pentylamino)-2-methyl-3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidine methanesulfonate.
3. The crystal according to claim 2, which has X-ray powder diffraction spectrum shown in Fig. 3.
4. The crystal according to claim 2, which has diffraction angle 2θ at 8.96, 12.70, 13.69, 14.98, 15.74, 16.38, 17.63, 18.98, 19.71, 20.49, 21.37, 22.26, 22.88, 23.76, 24.70, 25.79 and 26.57 on X-ray powder diffraction spectrum.
5. The crystal according to claim 2, which has infrared resonance spectrum shown in Fig. 4.
6. The crystal according to claim 2, which has absorption of infrared resonance spectrum at 1652, 1595, 1549, 1220, 1168, 1141, 1115, 1034, 790, 766, 548, 533 and 522 cm^{-1} .
7. A process for the preparation of 8-(3-pentylamino)-2-methyl-3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidine methanesulfonate, which comprises reacting 8-(3-pentylamino)-2-methyl-3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidine with methanesulfonic acid.

8. A pharmaceutical composition comprising the compound described in claim 1 as an active ingredient.

9. A pharmaceutical composition comprising 1% or more of a crystal, as an active ingredient, described in any one of claims 2 to 6.

10. The pharmaceutical composition according to claim 8, which is a CRF antagonist.

11. The pharmaceutical composition according to claim 8, which is a prevention and/or treatment agent of a CRF mediated disease.

12. The pharmaceutical composition according to claim 11, wherein the CRF mediated disease is a neuropsychiatric disorder or a digestive system disease.

13. The pharmaceutical composition according to claim 12, wherein the neuropsychiatric disorder is a mood disorder, an anxiety disorder, a stress related disorder, an eating disorder, a symptom by psychotomimetic drug use and dependence, an organic mental disorder, schizophrenia or an attention-deficit hyperactivity disorder.

14. The pharmaceutical composition according to claim 12, wherein the digestive system disease is an irritable bowel syndrome or a stress-induced gastrointestinal disturbance.

15. The pharmaceutical composition according to claim 13, wherein the mood disorder is depression, single episode depression, recurrent depression,

postpartum depression, child abuse induced depression, bipolar affective disorder or premenstrual dysphonic disorder.

16. A medicine which comprises 8-(3-pentylamino)-2-methyl-3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidine methanesulfonate and one or more medicaments selected from tricyclic antidepressants, tetracyclic antidepressants, monoamine oxidase inhibitors, serotonin-noradrenaline reuptake inhibitors, selective serotonin reuptake inhibitors, serotonin reuptake inhibitors, psychoanaleptics, antianxiety agents, antipsychotic agents, mitochondrial benzodiazepine receptor ligands, NK1 antagonists, gastrointestinal promotility agents, 5-HT₃ antagonists, 5-HT₄ agonists, anticholinergic agents, antidiarrheal drugs, lapactic and autonomic nerve modulators.

17. A CRF antagonist comprising 8-(3-pentylamino)-2-methyl-3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidine methanesulfonate as an active ingredient.

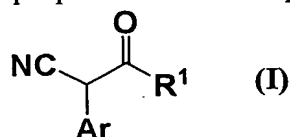
18. An injection comprising 8-(3-pentylamino)-2-methyl-3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidine methanesulfonate.

19. The injection according to claim 18, which comprises a solubilizing agent and/or a pH adjuster.

20. A method for antagonizing CRF, which comprises administering an effective amount of 8-(3-pentylamino)-2-methyl-3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidine methanesulfonate to mammals.

21. Use of 8-(3-pentylamino)-2-methyl-3-(2-chloro-4-methoxyphenyl)-6,7-dihydro-5H-cyclopenta[d]pyrazolo[1,5-a]pyrimidine methanesulfonate for preparing a CRF antagonist.

22. A process for the preparation of a compound of formula (I)



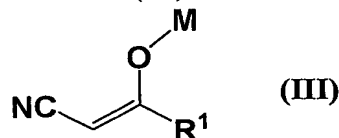
wherein all symbols are as hereinafter defined;

which comprises reacting a compound of formula (II)



wherein Ar is benzene, naphthalene, pyridine, 1,3-dioxaindan or benzothiadiazole that rings may be substituted by substituents, X is halogen atom;

with a compound of formula (III)



wherein R^1 is (i) C1-8 alkyl, (ii) C2-8 alkenyl, (iii) C2-8 alkynyl, (iv) trifluoromethyl, (v) C3-10 mono- or bi-carbocyclic ring, (vi) 3- to 10-membered mono- or bi-heterocyclic ring containing 1-4 of nitrogen(s), 1-2 of oxygen(s) and/or 1-2 of sulfur(s), (vii) C1-4 alkyl substituted by 1-2 of selected from trifluoromethyl, NR^3R^4 in which R^3 and R^4 each independently, is (i) hydrogen, (ii) C1-4 alkyl, (iii) C3-10 mono- or bi-carbocyclic ring, (iv) 3- to 10-membered mono- or bi-heterocyclic ring containing 1-4 of nitrogen(s), 1-2 of oxygen(s) and/or 1-2 of sulfur(s) or (v) C1-4 alkyl substituted by C3-10 mono- or bi-carbocyclic ring or 3- to 10-membered mono- or bi-heterocyclic ring containing 1-4 of nitrogen(s), 1-2 of oxygen(s) and/or 1-2 of sulfur(s); OR^5 in which R^5 is (i) hydrogen, (ii) C1-4 alkyl, (iii) C5-6 carbocyclic ring, (iv) 5- or 6-

membered heterocyclic ring containing 1-2 of nitrogen(s), 1 of oxygen and/or 1 of sulfur or (v) C1-4 alkyl substituted by C5-6 carbocyclic ring or 5- or 6-membered heterocyclic ring containing 1-2 of nitrogen(s), 1 of oxygen and/or 1 of sulfur; $S(O)_nR^6$ in which n is 0, 1 or 2, R^6 is (i) C1-4 alkyl, (ii) C5-6 carbocyclic ring, (iii) 5- or 6-membered heterocyclic ring containing 1-2 of nitrogen(s), 1 of oxygen and/or 1 of sulfur or (iv) C1-4 alkyl substituted by C5-6 carbocyclic ring or 5- or 6-membered heterocyclic ring containing 1-2 of nitrogen(s), 1 of oxygen and/or 1 of sulfur; COR^5 , $COOR^5$, $CONR^3R^4$, C3-10 mono- or bi-carbocyclic ring and 3- to 10-membered mono- or bi-heterocyclic ring containing 1-4 of nitrogen(s), 1-2 of oxygen(s) and/or 1-2 of sulfur(s); M is a metal atom,

under a homogeneous catalyst.

23. The process for the preparation according to claim 22, wherein the homogeneous catalysts is palladium series.